```
=> s carvedilol?
L1          13 CARVEDILOL?

=> d l1 1-13

L1   ANSWER 1 OF 13  REGISTRY  COPYRIGHT 2005 ACS on STN
RN   787598-91-8  REGISTRY
ED   Entered STN:  24 Nov 2004
CN   Benzoic acid, 2-hydroxy-, compd. with 1-(9H-carbazol-4-yloxy)-3-[[2-(2-
     methoxyphenoxy)ethyl]amino]-2-propanol (1:1) (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   Carvedilol salicylate
MF   C24 H26 N2 O4 . C7 H6 O3
SR   CA
LC   STN Files:   CA, CAPLUS

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     CRN  72956-09-3
     CMF  C24 H26 N2 O4
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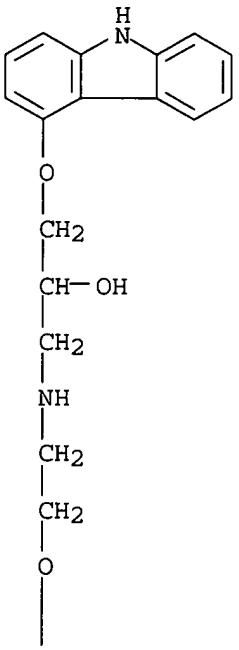

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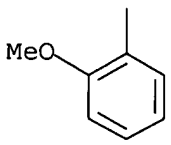

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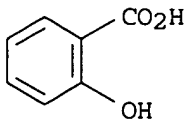
CRN 69-72-7
CMF C7 H6 O3



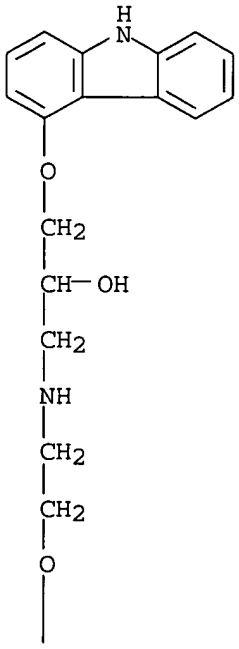
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

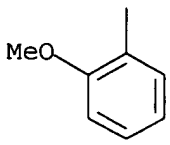
L1 ANSWER 2 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 787598-89-4 REGISTRY
ED Entered STN: 24 Nov 2004
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **Carvedilol oxalate**
MF C24 H26 N2 O4 . C2 H2 O4
SR CA
LC STN Files: CA, CAPLUS, CASREACT

CM 1

CRN 72956-09-3
CMF C24 H26 N2 O4

PAGE 1-A





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CRN 144-62-7
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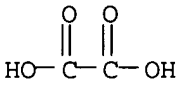

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 3 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 610309-89-2 REGISTRY
ED Entered STN: 29 Oct 2003
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, phosphate (salt), hydrate (2:2:1) (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **Carvedilol phosphate**
CN SKF 105517D
MF C24 H26 N2 O4 . H3 O4 P . 1/2 H2 O
SR CAS Client Services
LC STN Files: ADISINSIGHT, CA, CAPLUS

CM 1

CRN 72956-09-3
CMF C24 H26 N2 O4

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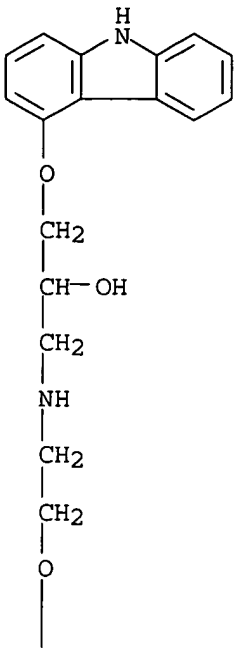

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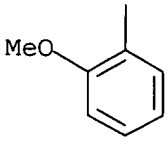

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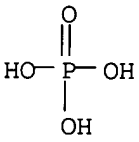
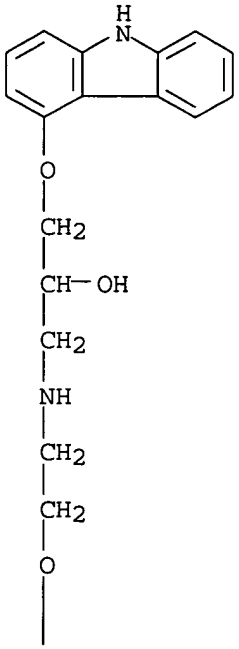


1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 4 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 142227-51-8 REGISTRY
ED Entered STN: 03 Jul 1992
CN Phenol, 3-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]ethoxy]-4-methoxy- (9CI) (CA INDEX NAME)
OTHER NAMES:

CN **5'-Hydroxyphenylcarvedilol**
CN **5-Hydroxycarvedilol**
CN BM 140830
FS 3D CONCORD
DR 146601-40-3
MF C24 H26 N2 O5
CI COM
SR CA
LC STN Files: CA, CAPLUS, CHEMCATS, USPATFULL

PAGE 1-A



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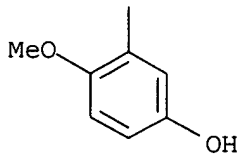


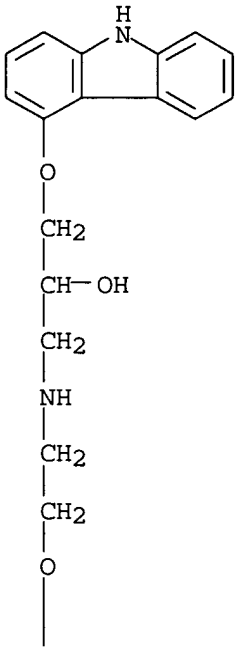
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10 REFERENCES IN FILE CA (1907 TO DATE)
10 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 5 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 142227-49-4 REGISTRY
ED Entered STN: 03 Jul 1992
CN Phenol, 4-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]ethoxy]-3-methoxy- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **4'-Hydroxyphenylcarvedilol**

CN **4-Hydroxycarvedilol**
CN BM 140686
CN BM 14686
FS 3D CONCORD
DR 146574-45-0
MF C24 H26 N2 O5
CI COM
SR CA
LC STN Files: CA, CAPLUS, CHEMCATS, USPATFULL

PAGE 1-A



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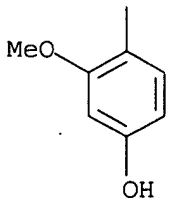


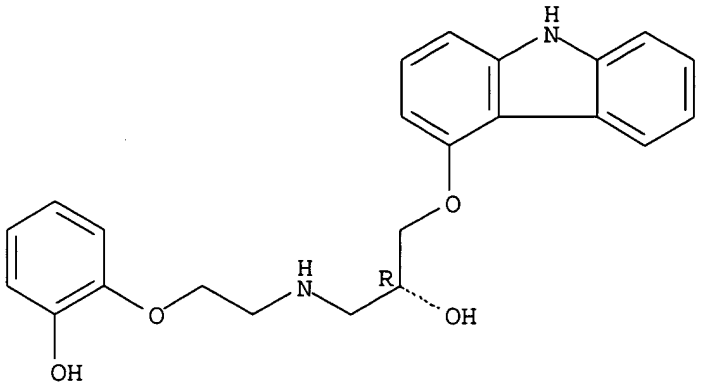
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10 REFERENCES IN FILE CA (1907 TO DATE)
10 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 6 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 123372-14-5 REGISTRY
ED Entered STN: 27 Oct 1989
CN Phenol, 2-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]ethoxy]-, (R)- (9CI) (CA INDEX NAME)

OTHER NAMES:
CN **(R)-(+)-O-Desmethylcarvedilol**
FS STEREOSEARCH
MF C23 H24 N2 O4
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, CHEMCATS
(*File contains numerically searchable property data)

Absolute stereochemistry.

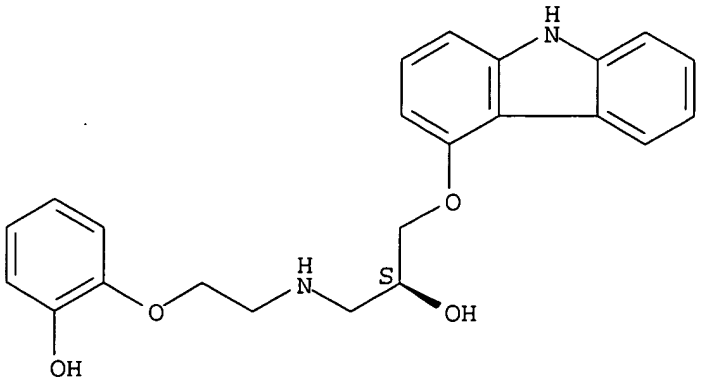


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 7 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 123372-13-4 REGISTRY
ED Entered STN: 27 Oct 1989
CN Phenol, 2-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]ethoxy]-, (S)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **(S)-(-)-O-Desmethylcarvedilol**
FS STEREOSEARCH
MF C23 H24 N2 O4
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, CHEMCATS
(*File contains numerically searchable property data)

Absolute stereochemistry.

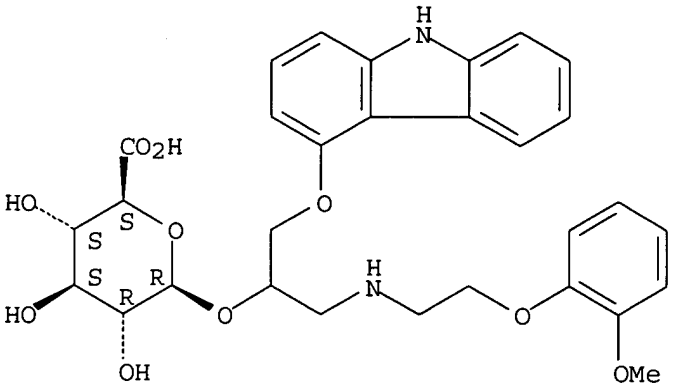


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 8 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 114869-83-9 REGISTRY
ED Entered STN: 18 Jun 1988
CN β-D-Glucopyranosiduronic acid, 2-(9H-carbazol-4-yloxy)-1-[[[2-(2-methoxyphenoxy)ethyl]amino]methyl]ethyl (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **Carvedilol glucuronide**
FS STEREOSEARCH
MF C30 H34 N2 O10
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



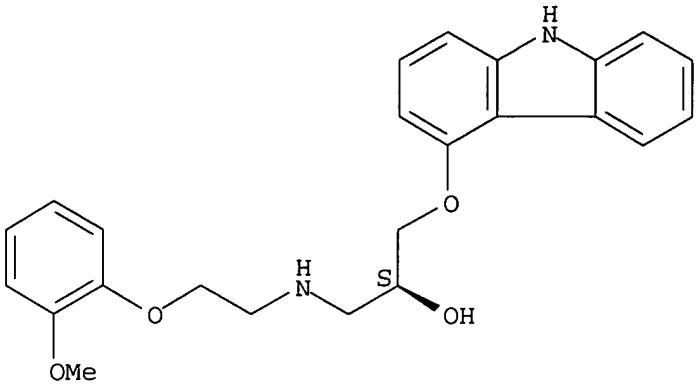
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 9 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 95094-00-1 REGISTRY
ED Entered STN: 03 Mar 1985
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, (S)-
OTHER NAMES:
CN **(-)-Carvedilol**
CN **(S)-(-)-Carvedilol**
CN (S)-1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]propan-2-ol
CN **(S)-Carvedilol**
FS STEREOSEARCH
MF C24 H26 N2 O4
CI COM
LC STN Files: ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, CA, CAPLUS, CASREACT, CHEMCATS, CSCHEM, IMSPATENTS, IMSRESEARCH, IPA, TOXCENTER,

USPAT2, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry.

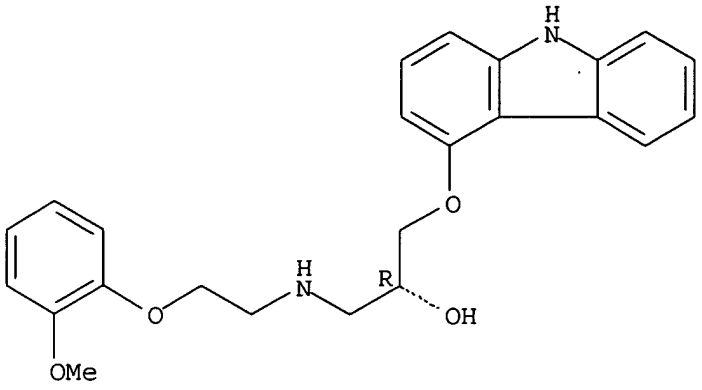


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

63 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
63 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 10 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 95093-99-5 REGISTRY
ED Entered STN: 03 Mar 1985
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, (2R)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, (R)-
OTHER NAMES:
CN **(+)-Carvedilol**
CN (R)-1-(9H-carbazol-4-yloxy)-3-[[2-[2-(methoxy)phenoxy]ethyl]amino]propan-2-ol
CN **(R)-Carvedilol**
CN **R-(+)-Carvedilol**
FS STEREOSEARCH
MF C24 H26 N2 O4
LC STN Files: ADISNEWS, ANABSTR, BEILSTEIN*, CA, CAPLUS, CASREACT, CHEMCATS, CSCHEM, IMSPATENTS, IMSRESEARCH, IPA, TOXCENTER, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

63 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
63 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 11 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 72956-44-6 REGISTRY
ED Entered STN: 16 Nov 1984
CN Phenol, 2-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]ethoxy]-
(9CI) (CA INDEX NAME)
OTHER NAMES:
CN BM 14242
CN **Desmethylcarvedilol**
FS 3D CONCORD
MF C23 H24 N2 O4
CI COM
LC STN Files: BEILSTEIN*, BIOBUSINESS, CA, CAPLUS, CHEMCATS, CSCHEM, IPA, MEDLINE, TOXCENTER, USPATFULL
(*File contains numerically searchable property data)

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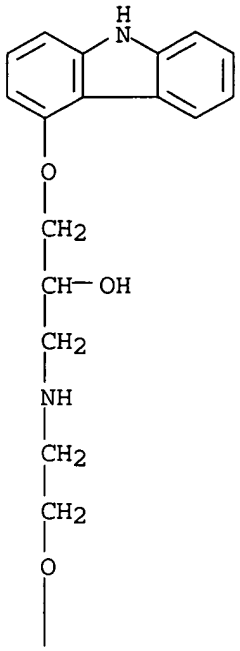

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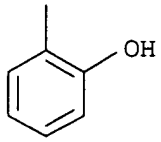

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19 REFERENCES IN FILE CA (1907 TO DATE)
19 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 12 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 72956-09-3 REGISTRY
ED Entered STN: 16 Nov 1984
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-(9CI) (CA INDEX NAME)
OTHER NAMES:
CN **(±)-Carvedilol**
CN Artist
CN BM 14190
CN **Carvedilol**
CN Carvediol
CN Coreg
CN Dilatrend
CN Dimitone
CN DQ 2466
CN Eucardic
CN Kredex
CN Querto

CN SKF 105517
FS 3D CONCORD
DR 107741-96-8
MF C24 H26 N2 O4
CI COM
LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CEN, CHEMCATS, CIN, CSCHEM, DDFU, DIOGENES, DRUGU, EMBASE, HSDB*, IMSCOSEARCH, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK*, PATDPASPC, PHAR, PROMT, PROUSDDR, PS, RTECS*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)
Other Sources: WHO

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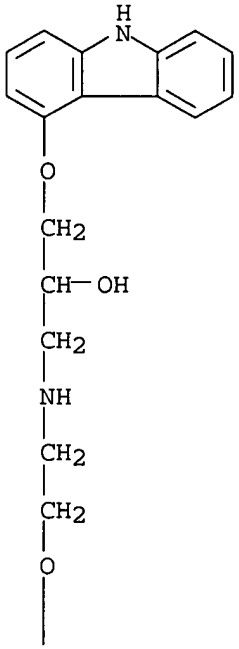

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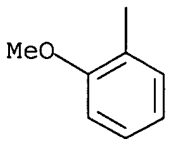

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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23 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1053 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 13 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 72955-94-3 REGISTRY

ED Entered STN: 16 Nov 1984
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylmethyl)amino]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **N-Benzylcarvedilol**
FS 3D CONCORD
MF C31 H32 N2 O4
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, CHEMLIST, USPAT2, USPATFULL
(*File contains numerically searchable property data)

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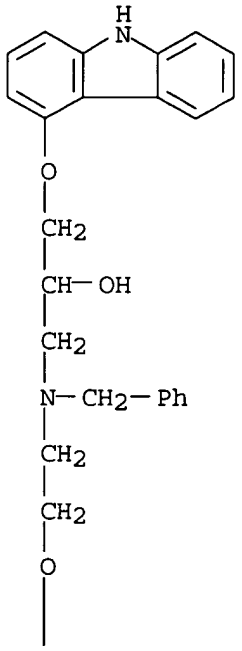

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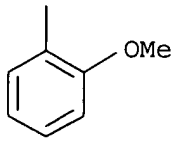

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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L4            6 L3 AND HCL?

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        588000 ?HYDRAT?
L5           28 L3 AND ?HYDRAT?

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L4   ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2005:300196  CAPLUS
DOCUMENT NUMBER:          142:355575
TITLE:                    Preparation of nitrosated glutamic acid compounds for
                          use in pharmaceutical compositions
INVENTOR(S):              Garvey, David S.; Earl, Richard A.; Ezawa, Maiko;
                          Fang, Xinqin; Gaston, Ricky D.; Khanapure, Subhash P.;
                          Lin, Chia-en; Ranatunge, Ramani R.; Stevenson, Cheri
                          A.; Wey, Shiow-jyi
PATENT ASSIGNEE(S):       Nitromed, Inc., USA
SOURCE:                   PCT Int. Appl., 151 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     WO 2005030135         A2    20050407    WO 2004-US31372         20040927
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             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
PRIORITY APPLN. INFO.:                       US 2003-505921P     P  20030926
GI
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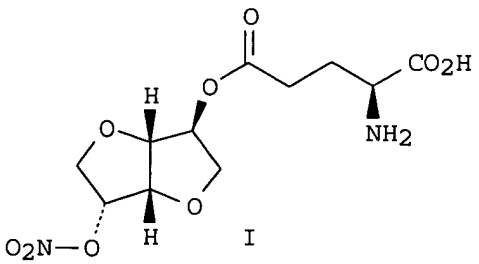


I

AB The invention describes novel nitrosated glutamic acid compds. RbNHCH(CH2CH2CO-K)CO-U3-D [Rb is H or alkyl; D is H, V3 or K (V3 is H or NO2); U3 is O, S(O)0-2 or NRaRi, where Ra is a lone pair of electrons, H or alkyl and Ri is H, alkyl, aryl, a carboxylic acid or ester, alkylsulfinyl, etc.; K is -W3a-Eb-(CReRf)p1-Ec-(CReRf)x-W3d-(CReRf)y-W3i-

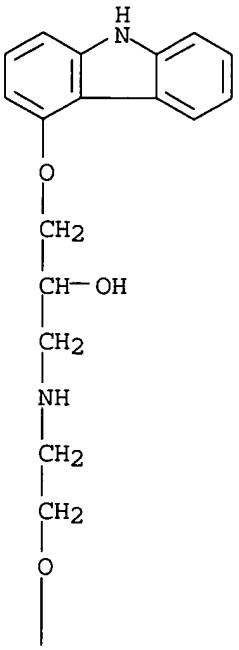
Ej-W3g-(CReRf)z-T3-V3, where a, b, c, d, g, i and j are independently integers 0-3; p1, x, y and z are independently integers 0-10; W3 is CO, CS, T3, (CReRf)1-10, alkyl, aryl, heterocyclyl, arylheterocyclyl or (CH2CH2O)0-5; E is T3, alkyl, aryl, (CReRf)1-10, heterocyclyl, arylheterocyclyl or (CH2CH2O)1-5; T3 is a covalent bond, CO, O, S, SO, SO2 or NRaRi; Re, Rf are independently H, alkyl, cycloalkoxy, halo, hydroxy, hydroxyalkyl, alkoxyalkyl, arylheterocyclyl, alkylaryl, etc.] and their pharmaceutically-acceptable salts and novel compns. comprising at least one nitrosated glutamic acid compound and optionally at least one nitric oxide donor and/or at least one therapeutic agent. The invention also provides methods for treating various diseases and for targeted delivery of compds. and nitric oxide to organs, cells or tissues containing the enzyme γ-glutamyl transpeptidase. Thus, nitrosated glutamic acid ester I. **HCl** was prepared by esterification of Boc-L-Glu-OBu-t (Boc = tert-butoxycarbonyl) with isosorbide-5-mononitrate (DMAP/EDAC in CH2Cl2) and deprotection.

IT **72956-09-3**, Carvedilol
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of nitrosated glutamic acid compds. for use in pharmaceutical compns.)

RN 72956-09-3 CAPLUS

CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-(9CI) (CA INDEX NAME)

PAGE 1-A



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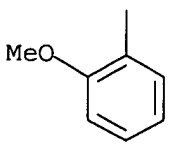


L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:931185 CAPLUS
DOCUMENT NUMBER: 140:744
TITLE: 5-HT4 receptor antagonists for the treatment of heart failure
INVENTOR(S): Levy, Finn Olav
PATENT ASSIGNEE(S): Medinnova SF, Norway; Dzieglewska, Hanna
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097065	A1	20031127	WO 2003-GB2134	20030516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2485600	AA	20031127	CA 2003-2485600	20030516
EP 1503764	A1	20050209	EP 2003-725415	20030516
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

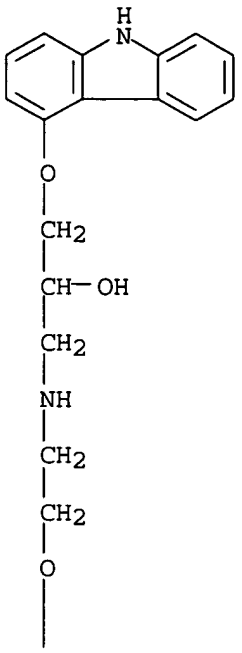
PRIORITY APPLN. INFO.: GB 2002-11230 A 20020516
WO 2003-GB2134 W 20030516

AB This invention provides the use of a 5-HT4 receptor antagonist in the manufacture of a medicament for treating or preventing heart failure. Particular heart disorders to be treated are selected from the group comprising chronic heart failure, congestive heart failure, chronic congestive heart failure and heart failure resulting from ischemic heart disease. Methods of treating heart failure using 5-HT4 receptor antagonists and pharmaceutical compns. containing 5-HT4 receptor antagonists are also provided. Treatment of post-infarction congestive heart failure in rats with 5-HT4 receptor antagonist SB207266 showed a trend towards normalization of myocardial function.

IT **72956-09-3**, Carvedilol
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(capsules containing SB207266 **HCl** and; 5-HT4 receptor antagonists for treatment of heart failure)

RN 72956-09-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-(9CI) (CA INDEX NAME)

PAGE 1-A



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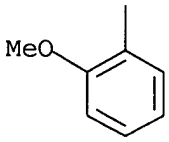

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:319257 CAPLUS
DOCUMENT NUMBER: 138:343856
TITLE: Buccal sprays or capsules containing cardiovascular or renal drugs
INVENTOR(S): Dugger, Harry A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S. Ser. No. 537,118.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 16
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003077229	A1	20030424	US 2002-230075	20020829
WO 9916417	A1	19990408	WO 1997-US17899	19971001

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ,

LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US,
UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
GN, ML, MR, NE, SN, TD, TG

EP 1029536 A1 20000823 EP 2000-109347 19971001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

EP 1036561 A1 20000920 EP 2000-109357 19971001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

WO 2004019909 A2 20040311 WO 2003-US26853 20030827
WO 2004019909 A3 20040708
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
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PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
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BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005025713 A1 20050203 US 2004-928979 20040827

PRIORITY APPLN. INFO.: WO 1997-US17899 A2 19971001
US 2000-537118 A2 20000329
EP 1997-911621 A3 19971001
US 2002-230075 A 20020829

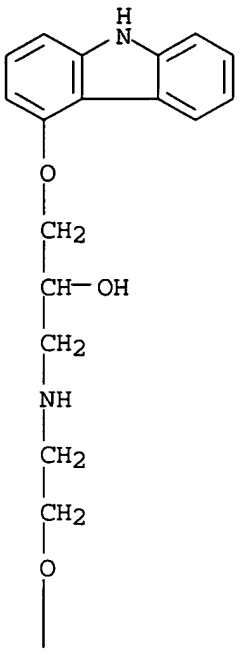
AB Buccal aerosol sprays or capsules using polar and non-polar solvent have now been developed which provide biol. active compds. for rapid absorption through the oral mucosa, resulting in fast onset of effect. The buccal polar compns. of the invention comprise formulation A: aqueous polar solvent, active compound, and optional flavoring agent; formulation B: aqueous polar solvent, active compound, optionally flavoring agent, and propellant; formulation C: non-polar solvent, active compound, and optional flavoring agent; and formulation D: non-polar solvent, active compound, optional flavoring agent, and propellant. Thus, a polar lingual spray contained isoproterenol-**HCl** 0.5-6, water 50-75, EtOH 5-10, PEG 5-15, sorbitol 0.4-1.0, aspartame 0.04-0.1, and flavors 2-3%.

IT **72956-09-3**, Carvedilol
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(buccal sprays or capsules containing cardiovascular or renal drugs)

RN 72956-09-3 CAPLUS

CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-(9CI) (CA INDEX NAME)

PAGE 1-A



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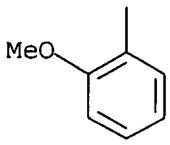


L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:755212 CAPLUS
DOCUMENT NUMBER: 137:279361
TITLE: Preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction
INVENTOR(S): Garvey, David S.; Saenz De Tejada, Inigo; Gaston, Ricky D.; Khanapure, Subhash P.; Shelekhin, Tatiana E.; Wang, Tiansheng
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 61 pp., Cont.-in-part of U.S. 6,294,517.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002143007	A1	20021003	US 2002-146671	20020516
US 5932538	A	19990803	US 1996-595732	19960202
US 5994294	A	19991130	US 1996-714313	19960918

US 6294517	B1	20010925	US 1998-145143	19980901
PRIORITY APPLN. INFO.:			US 1996-595732	A2 19960202
			US 1996-714313	A2 19960918
			US 1998-145143	A2 19980901
			WO 1997-US1294	A2 19970128

OTHER SOURCE(S): MARPAT 137:279361
GI

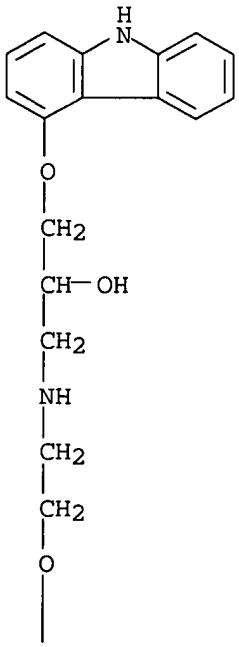
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

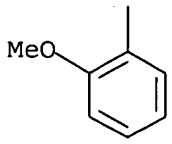
AB Title compds. I, II, III, etc. [R1 = H, alkoxy; R2 = NMe(CH2)aNHCORc, 6,7-dimethoxy-1,2,3,4-tetrahydroisoquinolin-2-yl, etc.; a= 2, 3; Rc = heterocyclic, alkyl, hydroxyalkyl, etc.; D = NO, NO2, etc.; R3 = CH2N(4-MeC6H4)(3-DOC6H4), CH2Ph, 2-methoxy-1,4-benzodioxin-2-yl, etc.; D1 = H or D with the proviso that D1 must be D if there is no other D in the compound; R4 = H, D, CORd; R5 = H, C(O)ORk, etc.; Rd = H, alkyl, cycloalkyl, etc.; Rk = H, alkyl] were prepared For example, nitrosylation of thiol IV (X = H), e.g., prepared from 4-[2-(dimethylamino)ethoxy]-2-methyl-5-(methylethyl)phenyl acetate in 3-steps, with NaNO2/**HCl** afforded IV.**HCL** (X = NO) in 82% yield. Compds. I, II, III, etc., donate, transfer or release nitric oxide or elevate levels of endogenous endothelium-derived relaxing factor, and are useful for treatment of sexual dysfunctions in males and females. In erectile response of anesthetized rabbits (2.5 kg), S-nitrosoglutathione, e.g., prepared from glutathione and NaNO2/**HCl**, at 500 μg dosage was able to induce near maximal response relative to the standard dose of pap/phent/PGE1.

IT **72956-09-3D**, Carvedilol, nitrated or nitrosylated derivs.
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of nitrosated and nitrosylated α-adrenergic receptor antagonists for the treatment of sexual dysfunction)

RN 72956-09-3 CAPLUS

CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-(9CI) (CA INDEX NAME)





L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:392232 CAPLUS
DOCUMENT NUMBER: 136:401912
TITLE: Nitrosated and nitrosylated alpha-adrenergic receptor antagonist compounds, compositions and their uses
INVENTOR(S): Garvey, David S.; Schroeder, Joseph D.; Saenz de Tejada, Inigo
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 40 pp., Cont.-in-part of U.S. Ser. No. 714,313.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002061879	A1	20020523	US 2001-24550	20011221
US 5932538	A	19990803	US 1996-595732	19960202
US 5994294	A	19991130	US 1996-714313	19960918
PRIORITY APPLN. INFO.:			US 1996-595732 A2	19960202
			US 1996-714313 A2	19960918

OTHER SOURCE(S): MARPAT 136:401912
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention is directed to nitrosated or nitrosylated a-adrenergic receptor antagonists, e.g. I [Ra = H, alkoxy; Rb = NMe(CH2)aNHCORc, 6,7-dimethoxy-1,2,3,4-tetrahydroisoquinolin-2-yl; a= 2, 3; Rc = heteroaryl, heterocycle, lower alkyl, hydroxyalkyl, arylheterocycle; D = NO, NO2, C(Rd)OC(O)YZ(CReRf)pTQ; Rd = H, lower alkyl, cycloalkyl, aryl aralkyl, heteroaryl; Y = O, S, C, NRi; Ri = H, lower alkyl; Re, Rf = H, lower alkyl, haloalkyl, cycloalkyl, alkoxy, aryl, heteroaryl, NH2, (di)alkylamino, amido, CO2H, ester, TQ; ReRf = carbonyl, heterocycle, cycloalkyl; p = 1 - 10; T = bond, O, S, N; Z = bond, lower alkyl, haloalkyl, cycloalkyl, aryl, (CReRf)p, Q = NO, NO2], II [R = CH2N(C6H4Me-4)C6H4OD1-3, CH2Ph, 2-methoxy-1,4-benzodioxin-2-yl, 1-methyl-2,3-dihydroisoindol-2-yl, 5-chloro-2,3-dihydroisoindol-2-yl; D1 = H, D], III [Rh = H, C(O)ORd, C(O)X; X = Y(CReRf)pG(CReRf)pTQ; G = bond, TC(O), C(O)T, C{YC(O)Rm}; Rm = heteroaryl, heterocycle], IV [A1 = O, CH2], V, (RmRkC)N(D1)(CRkRl) [Rk = H, lower alkly; Rl = CH2C6H4O(CH2)bMe, CH2C6H4OD, CH2OC6H3(OMe)2-2,6, CH2CH2Ph; b = 0, 1; Rn = CH2C6H4(SO2NH2)-3, 1-oxotetralin-2-yl, 1,4-benzodioxin-2-yl] and RpRkCHCH(Ro)OD [Ro = (1-naphthyloxy)methyl, C6H4OD1; Rp = 4-benzylpiperidino,

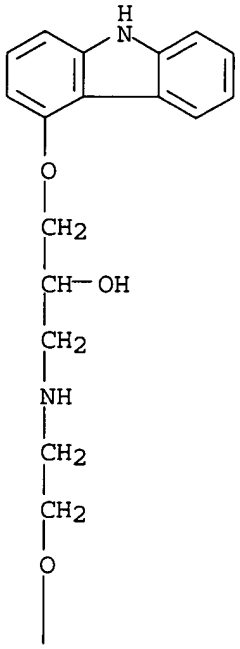
4-(2-methoxyphenyl)piperazino]. The present invention is also directed to compns. comprising α-adrenergic receptor antagonists that are optionally substituted with at least one NO or NO2 moiety and compds. that donate, transfer or release nitric oxide or elevate levels of endogenous endothelium-derived relaxing factor, and methods for treating sexual dysfunctions in males and females. Thus, S-Nitrosoglutathione was prepared from glutathione via reaction with NaNO2 in aqueous **HCl**. S-Nitrosoglutathione at 500 μg was able to induce near maximal erectile response in anesthetized rabbits.

IT **72956-09-3D**, Carvedilol, nitrosated or nitrosylated
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of nitrosated and nitrosylated alpha-adrenergic receptor antagonist compds., compns. and their uses)

RN 72956-09-3 CAPLUS

CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-(9CI) (CA INDEX NAME)

PAGE 1-A



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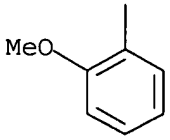


L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:656532 CAPLUS
DOCUMENT NUMBER: 119:256532
TITLE: Topical antiglaucoma compositions comprising carbonic

anhydrase inhibitors and beta-blockers
INVENTOR(S): Dean, Thomas Robert; Desantis, Louis, Jr.
PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9316701	A2	19930902	WO 1993-US1487	19930219
WO 9316701	A3	19940106		
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9337257	A1	19930913	AU 1993-37257	19930219
AU 677577	B2	19970501		
EP 625903	A1	19941130	EP 1993-906084	19930219
EP 625903	B1	19980812		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07504899	T2	19950601	JP 1993-514967	19930219
JP 2965267	B2	19991018		
CA 2129037	C	19980324	CA 1993-2129037	19930219
AT 169499	E	19980815	AT 1993-906084	19930219
ES 2118941	T3	19981001	ES 1993-906084	19930219
JP 10324640	A2	19981208	JP 1998-44090	19930219
US 5932572	A	19990803	US 1997-920314	19970827
HK 1009941	A1	20000420	HK 1998-110893	19980924
PRIORITY APPLN. INFO.:			US 1992-839869 A	19920221
			JP 1993-514967 A3	19930219
			WO 1993-US1487 A	19930219
			US 1993-115970 B1	19930901
			US 1995-526240 B1	19950911

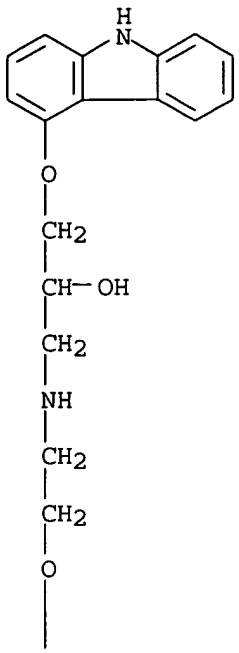
OTHER SOURCE(S): MARPAT 119:256532

AB Ophthalmic pharmaceutical compns. useful in controlling elevated intraocular pressure associated with glaucoma comprise a combination of a β-blocker and a carbonic anhydrase inhibitor (especially a thiophenesulfonamide derivative) to reduce the production of aqueous humor, preferably formulated as a suspension having a pH of 6.8-7.8. The composition may addnl. contain a mucomimetic anionic polymer and/or a finely divided drug carrier to provide sustained release. Thus, an ophthalmic suspension (pH 7.5) contained betaxolol-**HCl** 0.28, (R)-3,4-dihydro-4-ethylamino-2-(3-methoxy)propyl-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide-**HCl** 1.7, benzalkonium chloride 0.01, EDTA 0.05, Carbopol 934P 0.4, polysorbate 80 0.05 weight%, mannitol to 300 milliosmolal, and water.

IT **72956-09-3**, Carvedilol
RL: BIOL (Biological study)
(glaucoma treatment with carbonic anhydrase inhibitor and)

RN 72956-09-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-(9CI) (CA INDEX NAME)

PAGE 1-A



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